

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
18 December 2003 (18.12.2003)

PCT

(10) International Publication Number
WO 03/104268 A1

(51) International Patent Classification⁷: **C07K 7/06**,
A61K 38/08, **38/55**, **A61P 1/04**, **1/14**, **27/02**, **43/00**

(21) International Application Number: **PCT/JP03/07333**

(22) International Filing Date: **10 June 2003 (10.06.2003)**

(25) Filing Language: **English**

(26) Publication Language: **English**

(30) Priority Data:
0213286.8 **10 June 2002 (10.06.2002)** **GB**

(71) Applicants (for all designated States except US): **THE UNIVERSITY OF EDINBURGH** [GB/GB]; Old College, South Bridge, Lothian EH8 9YL (GB). **THE UNIVERSITY OF STRATHCLYDE** [GB/GB]; 16 Richmond Street, Glasgow, Strathclyde G1 1XQ (GB). **KOWA COMPANY, LTD.** [JP/JP]; 6-29, Nishiki 3-chome, Naka-ku, Nagoya-shi, Aichi 460-8625 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RAMAGE, Robert** [GB/GB]; 26 Craighleith View, Edinburgh, Lothian EH4 3JZ (GB). **PLEVIN, Robin** [GB/GB]; Flat 2, 5 Belhaven Terrace, Dowanhill, Glasgow, Strathclyde G12 0TF (GB). **SHAW, Kevin, Thomas** [GB/GB]; 19 Burnside Terrace, Haddington, Lothian EH41 4ER (GB). **JIANG, Lu** [GB/GB]; 86 Leamington Terrace, Edinburgh, Lothian EH10 4JU (GB). **YOUNG, Louise, Claire** [GB/GB]; 63 Asher Rd, Chapelhall, Airdrie, Strathclyde ML6 8TA (GB). **HARVEY, Alan, Lang** [GB/GB]; 9 Victoria Park Corner, Glasgow, Strathclyde G14 9NZ (GB). **WANG, Pu**

[CN/US]; 1508 Madrono Avenue, Palo Alto, CA 94306 (US). **KANKE, Toru** [JP/JP]; 2-17-43, Noguchicho, Higashimurayama-shi, Tokyo 189-0022 (JP). **KAWAGOE, Junichi** [JP/JP]; 785-1-1206, Minamiotsuka, Kawagoe-shi, Saitama 350-1162 (JP). **KABEYA, Mototsugu** [JP/JP]; 2-17-43, Noguchicho, Higashimurayama-shi, Tokyo 189-0022 (JP).

(74) Agent: **SAEKI, Norio**; 9th floor, Taka-ai Building, 15-2, Nihonbashi 3-chome, Chuo-ku, Tokyo 103-0027 (JP).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **PAR-2-ACTIVATING PEPTIDE DERIVATIVE AND PHARMACEUTICAL COMPOSITION USING THE SAME**

(57) Abstract: The present invention relates to a peptide derivative represented by the general formula (I) or a salt thereof: $Z-(CH_2)_n-CO-NH-Leu-Ile-Gly-AA_1-AA_2-CO-R$ (I) wherein Z represents an aryl group which may or may not have a substituent or a heteroaryl group which may or may not have a substituent; n represents 0, 1 or 2; AA₁-AA₂ represents Lys-Val or Arg-Leu; and R represents -OH or -NH₂, and relates to a pharmaceutical composition comprising a peptide derivative represented by the general formula (I) or a salt thereof, and a pharmaceutically acceptable carrier thereof.



WO 03/104268 A1